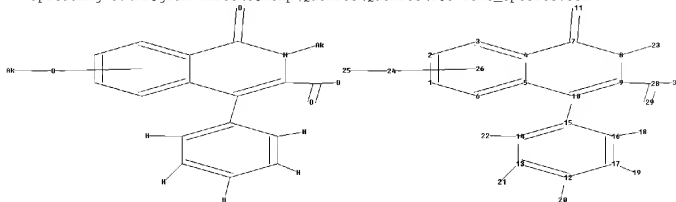


## (10/572,343\_RCE)

\*\*\*\*\* Welcome to STN International \*\*\*\*\*  
 \*\*\*\*\* STN Columbus \*\*\*\*\*

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chain nodes :  
 11 18 19 20 21 22 23 24 25 28 29 30  
 ring nodes :  
 1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17  
 chain bonds :  
 7-11 8-23 9-28 10-15 12-20 13-21 14-22 16-18 17-19 24-25 28-29 28-30  
 ring bonds :  
 1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 12-13 12-17 13-14 14-15  
 15-16 16-17  
 exact/norm bonds :  
 4-7 5-10 7-8 7-11 8-9 8-23 9-10 24-25 28-29 28-30  
 exact bonds :  
 9-28 10-15 12-20 13-21 14-22 16-18 17-19  
 normalized bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17  
 isolated ring systems :  
 containing 1 : 12 :

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS  
 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:Atom 28:CLASS  
 29:CLASS 30:CLASS

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 L3 10 SEA SSS FUL L1

=> file caplus

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=> s l4 and pd< sept 2003  
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 (PD<20030900)

L5 2 L4 AND PD&lt; SEPT 2003

=&gt; dis l5 1-2 bib abs hitstr

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2003:656749 CAPLUS Full-text

DN 139:197386

TI Preparation of isoquinolinone derivatives as JNK inhibitors

IN Itoh, Fumio; Kimura, Hiroyuki; Igata, Hideki; Kawamoto, Tomohiro; Sasaki, Mitsuru; Kitamura, Shuji

PA Takeda Chemical Industries, Ltd., Japan

SO PCT Int. Appl., 369 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003068750	A1	20030821	WO 2003-JP1429	20030212 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2476162	A1	20030821	CA 2003-2476162	20030212 <--
	AU 2003211931	A1	20030904	AU 2003-211931	20030212
	EP 1484320	A1	20041208	EP 2003-705075	20030212
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2004143134	A	20040520	JP 2003-35096	20030213
	US 20050148624	A1	20050707	US 2004-504132	20040811
	US 7402595	B2	20080722		
PRAI	JP 2002-35073	A	20020213		
	JP 2002-251997	A	20020829		
	WO 2003-JP1429	W	20030212		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 139:197386

AB Claimed are JNK (c-Jun N-terminal kinase) inhibitors containing isoquinolinones or salts thereof. The second claim specifies that said isoquinolinones are 1-isoquinolinones. Comps. of this invention in vitro showed IC50 values of 0.0067  $\mu$ M to 0.095  $\mu$ M against JNK1. Formulations are given.

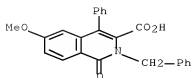
IT 583833-69-6P 583833-70-9P 583833-71-0F  
583833-72-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of isoquinolinone derivs. as JNK inhibitors)

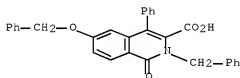
RN 583833-69-6 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 1,2-dihydro-6-methoxy-1-oxo-4-phenyl-2-(phenylmethyl)- (CA INDEX NAME)



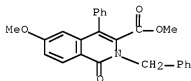
RN 583833-70-9 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 1,2-dihydro-1-oxo-4-phenyl-6-(phenylmethoxy)-2-(phenylmethyl)- (CA INDEX NAME)



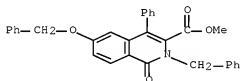
RN 583833-71-0 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 1,2-dihydro-6-methoxy-1-oxo-4-phenyl-2-(phenylmethyl)-, methyl ester (CA INDEX NAME)



RN 583833-72-1 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 1,2-dihydro-1-oxo-4-phenyl-6-(phenylmethoxy)-2-(phenylmethyl)-, methyl ester (CA INDEX NAME)



OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2002:615576 CAPLUS [Full-text](#)

DN 137:169431

TI Preparation of isoquinolinones as dipeptidyl peptidase IV inhibitors for the prophylaxis or treatment of diabetes

## (10/572,343\_RCE)

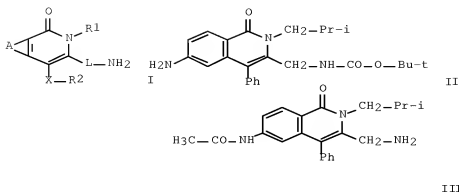
IN Oi, Satoru; Ikedou, Koji; Takeuchi, Koji; Ogino, Masaki; Banno, Yoshihiro;  
 Tawada, Hiroyuki; Yamane, Taihei  
 PA Takeda Chemical Industries, Ltd., Japan  
 SO PCT Int. Appl., 600 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002062764	A1	20020815	WO 2002-JP831	20020201 <--
	WO 2002062764	A9	20021010		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	AU 2002230126	A1	20020819	AU 2002-230126	20020201 <--
	JP 2003238566	A	20030827	JP 2002-26185	20020201 <--
	JP 4213390	B2	20090121		
	EP 1355886	A1	20031029	EP 2002-711278	20020201
	EP 1355886	B1	20070711		
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	HU 2004000058	A2	20040428	HU 2004-58	20020201
	CN 1500080	A	20040526	CN 2002-807429	20020201
	BR 2002006831	A	20040706	BR 2002-6831	20020201
	AT 366724	T	20070815	AT 2002-711278	20020201
	NO 2003003385	A	20030930	NO 2003-3385	20030729
	US 20040082607	A1	20040429	US 2003-470805	20030801
	US 7034039	B2	20060425		
	MX 2003006918	A	20040524	MX 2003-6918	20030801
	IN 2003KN01086	A	20050708	IN 2003-KN1086	20030827
PRAI	JP 2001-27349	A	20010202		
	JP 2001-292388	A	20010925		
	JP 2001-382232	A	20011214		
	WO 2002-JP831	W	20020201		

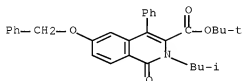
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 137:169431

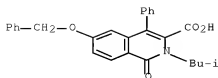
GI



- AB Title compds. I [R1, R2 = (un)substituted alkyl or heterocyclic ring; A = (un)substituted 5 to 10-membered aromatic ring; X = bond, O, S, etc.; L = divalent hydrocarbon or a salt], their pharmaceutically acceptable salts and formulations were prepared. For example, acylation of amino isoquinolinone II, followed by BOC deprotection provided claimed isoquinolinone III.HCl. Isoquinolinone III inhibited human dipeptidyl peptidase V with an IC50 = 0.25  $\mu$ M. Also, the plasma glucose-lowering (76%) and insulinotropic effects (255%) of III in rat were reported. Compds. I have superior peptidase inhibitory activity and are useful for the prophylaxis or treatment of diabetes.
- IT 447424-13-7P, tert-Butyl  
6-benzyloxy-2-isobutyl-1-oxo-4-phenyl-1,2-dihydro-3-isoquinolinecarboxylate 447424-14-8P,  
6-Benzyloxy-2-isobutyl-1-oxo-4-phenyl-1,2-dihydro-3-isoquinolinecarboxylic acid 447425-62-9P, Ethyl  
7-(benzyloxy)-2-isobutyl-1-oxo-4-phenyl-1,2-dihydro-3-isoquinolinecarboxylate 447425-63-0P,  
7-(Benzyloxy)-2-isobutyl-1-oxo-4-phenyl-1,2-dihydro-3-isoquinolinecarboxylic acid  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of isoquinolinones as dipeptidyl peptidase IV inhibitors for the treatment of diabetes)
- RN 447424-13-7 CAPLUS
- CN 3-Isoquinolinecarboxylic acid, 1,2-dihydro-2-(2-methylpropyl)-1-oxo-4-phenyl-6-(phenylmethoxy)-, 1,1-dimethylethyl ester (CA INDEX NAME)

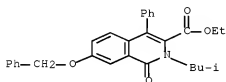


- RN 447424-14-8 CAPLUS
- CN 3-Isoquinolinecarboxylic acid, 1,2-dihydro-2-(2-methylpropyl)-1-oxo-4-phenyl-6-(phenylmethoxy)- (CA INDEX NAME)



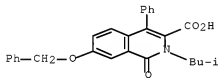
RN 447425-62-9 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 1,2-dihydro-2-(2-methylpropyl)-1-oxo-4-phenyl-7-(phenylmethoxy)-, ethyl ester (CA INDEX NAME)



RN 447425-63-0 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 1,2-dihydro-2-(2-methylpropyl)-1-oxo-4-phenyl-7-(phenylmethoxy)- (CA INDEX NAME)



OSC.G 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (30 CITINGS)

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s l4 not l5

L6 2 L4 NOT L5

=> dis l6 1-2 bib abs hitstr

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2008:495445 CAPLUS Full-text

DN 149:44277

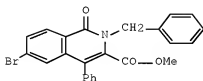
TI Discovery, synthesis and biological evaluation of isoquinolones as novel and highly selective JNK inhibitors (1)

AU Asano, Yasutomi; Kitamura, Shuji; Ohra, Taiichi; Aso, Kazuyoshi; Igata, Hideki; Tamura, Tomoko; Kawamoto, Tomohiro; Tanaka, Toshimasa; Sogabe, Satoshi; Matsumoto, Shin-ichi; Yamaguchi, Masashi; Kimura, Hiroyuki; Itoh, Fumio

CS Medicinal Chemistry Research Laboratories, Pharmaceutical Research Division, Takeda Pharmaceutical Company, Ltd, 17-85, Jusohonmachi 2-chome, Yodogawa-ku, Osaka, 532-8686, Japan

SO Bioorganic & Medicinal Chemistry (2008), 16(8), 4715-4732  
CODEN: BMECEP; ISSN: 0968-0896

PB Elsevier Ltd.  
 DT Journal  
 LA English  
 OS CASREACT 149:44277  
 GI



I

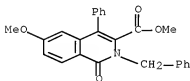
AB A novel series of 4-phenylisoquinolones were synthesized and evaluated as c-Jun N-terminal kinase (JNK) inhibitors. Initial modification at the 2- and 3-positions of the isoquinolinone ring of hit compound 4, identified from high-throughput screening, led to the lead compound 6b (I). The optimization was carried out using a JNK1-binding model of 6b and several compds. exhibited potent JNK inhibition. Among them, a (methylsulfonylbenzyl)bromooxoisoquinolinecarboxylate significantly inhibited cardiac hypertrophy in rat pressure-overload models without affecting blood pressure and the concept of JNK inhibitors as novel therapeutic agents for heart failure was confirmed.

IT 583333-71-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of aryl-substituted oxoisoquinolinecarboxylates as JNK inhibitors and the kinase inhibition selectivity, pharmacokinetics, and effect on cardiac hypertrophy and blood pressure of one of the isoquinolinones)

RN 583333-71-0 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 1,2-dihydro-6-methoxy-1-oxo-4-phenyl-2-(phenylmethyl)-, methyl ester (CA INDEX NAME)

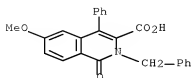


IT 583333-69-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aryl-substituted oxoisoquinolinecarboxylates as JNK inhibitors and the kinase inhibition selectivity, pharmacokinetics, and effect on cardiac hypertrophy and blood pressure of one of the isoquinolinones)

RN 583833-69-6 CAPLUS  
 CN 3-Isoquinolinecarboxylic acid, 1,2-dihydro-6-methoxy-1-oxo-4-phenyl-2-(phenylmethyl)- (CA INDEX NAME)



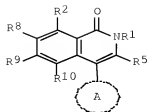
OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)  
 RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2010 ACS on STN  
 AN 2005:300410 CAPLUS Full-text  
 DN 142:373700  
 TI Preparation of isoquinoline derivatives as potassium channel inhibitors  
 IN Isaacs, Richard; Dinsmore, Christopher J.; McIntyre, Charles J.; Payne, Linda S.; Claremon, David A.  
 PA Merck & Co., Inc., USA  
 SO PCT Int. Appl., 48 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

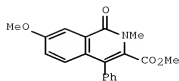
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005030727	A1	20050407	WO 2004-US30944	20040922
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	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2004276267	A1	20050407	AU 2004-276267	20040922
	CA 2539541	A1	20050407	CA 2004-2539541	20040922
	EP 1667977	A1	20060614	EP 2004-788883	20040922
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
	CN 1856473	A	20061101	CN 2004-80027378	20040922
	JP 2007506748	T	20070322	JP 2006-528110	20040922
	IN 2006DN01129	A	20070817	IN 2006-DN1129	20060302
	US 20060270704	A1	20061130	US 2006-572343	20060317
PRAI	US 2003-505138P	P	20030923		
	WO 2004-US30944	W	20040922		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OS CASREACT 142:373700; MARPAT 142:373700  
 GI





I



II

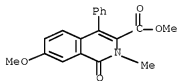
AB Title compds. represented by the formula I [wherein ring A = (un)substituted (hetero)aryl; R1 = H, (cyclo)alkyl, (alkyl)amino, etc.; R2, R8-R10 = independently H, halo, aminocarbamoyl, etc.; R5 = carbonylamino, carboxy, carbonylheterocyclic, etc.; and pharmaceutically acceptable salts, crystal forms or hydrates thereof] were prepared as potassium channel inhibitors. For example, II was given in a multi-step synthesis starting from (2-hydroxy-4-methoxyphenyl)(phenyl)methanone. I provide  $\geq 20$  % inhibition at a concentration of 33  $\mu\text{M}$  or less in the high throughput Kv1.5 planar patch clamp assay and  $\geq 25$  % inhibition at a concentration of 25  $\mu\text{M}$  or less in the AAS (Atomic Absorption Spectroscopy) assay. Thus, I and their pharmaceutical compns. are useful as potassium channel inhibitors for the treatment of cardiac arrhythmias, and the like.

IT 849358-94-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of isoquinoline derivs. as potassium channel inhibitors)

RN 849358-94-7 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 1,2-dihydro-7-methoxy-2-methyl-1-oxo-4-phenyl-, methyl ester (CA INDEX NAME)



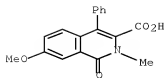
IT 849358-96-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of isoquinoline derivs. as potassium channel inhibitors)

RN 849358-96-9 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 1,2-dihydro-7-methoxy-2-methyl-1-oxo-4-phenyl- (CA INDEX NAME)

(10/572,343\_RCE)



OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)  
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

STN INTERNATIONAL LOGOFF AT 10:54:02 ON 16 APR 2010